L1 ANSWER 3 OF 10 WPIX COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 2002-445631 [48] WPIX
DOC. NO. CPI: C2002-127043 [48]
TITLE: New pyridinyl-substituted pyrazolo (3,4-b) pyridine derivatives, are soluble guanylate cyclase stimulants useful e.g. for treating cardiovascular or central nervous system diseases, sexual dysfunction or inflammation
DERWENT CLASS: B02
INVENTOR: ALONSO-ALIJA C; DEMBOVSKY K; DEMBOWSKY K; FEURER A; FLUBACHER D; LANG D; PERZBORN E; STAHL E; STASCH J; STASCH J P; STRAUB A; WEIGAND S; WUNDER F
PATENT ASSIGNEE: (ALON-I) ALONSO-ALIJA C; (FARB-C) BAYER AG; (FARB-C) BAYER HEALTHCARE AG; (DEMB-I) DEMBOWSKY K; (FEUR-I) FEURER A; (FLUB-I) FLUBACHER D; (LANG-I) LANG D; (PERZ-I) PERZBORN E; (STAH-I) STAHL E; (STAS-I) STASCH J; (STRA-I) STRAUB A; (WEIG-I) WEIGAND S; (WUND-I) WUNDER F
COUNTRY COUNT: 98

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
DE 10131987	A1	20020523	(200248)*	DE	16[0]	
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WO 2002042301	A1	20020530	(200248)	DE		
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AU 2002020692	A	20020603	(200263)	EN		
US 20020173514	A1	20021121	(200279)	EN		
NO 2003002299	A	20030702	(200356)	NO		
EP 1343786	A1	20030917	(200362)	DE		
BR 2001015477	A	20030819	(200367)	PT		
SK 2003000591	A3	20031007	(200369)	SK		
CZ 2003001435	A3	20031015	(200374)	CS		
KR 2003065519	A	20030806	(200402)	KO		
US 6693102	B2	20040217	(200413)	EN		
HU 2003003283	A2	20040128	(200415)	HU		
JP 2004521872	W	20040722	(200448)	JA	65	
ZA 2003003887	A	20040825	(200466)	EN	41	
TW 582998	A	20040411	(200468)	ZH		
MX 2003004500	A1	20040501	(200482)	ES		
CZ 294648	B6	20050216	(200515)	CS		
CN 1555374	A	20041215	(200519)	ZH		
EP 1343786	B1	20050629	(200543)	DE		
DE 50106655	G	20050804	(200552)	DE		
ES 2243598	T3	20051201	(200625)	ES		

MX 234170	B	20060207 (200649)	ES
NZ 525963	A	20060929 (200667)	EN

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
DE 10131987 A1		DE 2001-10131987	20010702
US 20020173514 A1		US 2001-1569	20011101
US 6693102 B2		US 2001-1569	20011101
BR 2001015477 A		BR 2001-15477	20011109
CN 1555374 A		CN 2001-822206	20011109
DE 50106655 G		DE 2001-506655	20011109
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DE 50106655 G		EP 2001-997489	20011109
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WO 2002042301 A1		***WO 2001-EP12969	20011109***
NO 2003002299 A		WO 2001-EP12969	20011109
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SK 2003000591 A3		WO 2001-EP12969	20011109
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HU 2003003283 A2		WO 2001-EP12969	20011109
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MX 234170 B		WO 2001-EP12969	20011109
TW 582998 A		TW 2001-128638	20011120
AU 2002020692 A		AU 2002-20692	20011109
JP 2004521872 W		JP 2002-544435	20011109
CZ 2003001435 A3		CZ 2003-1435	20011109
CZ 294648 B6		CZ 2003-1435	20011109
HU 2003003283 A2		HU 2003-3283	20011109
SK 2003000591 A3		SK 2003-591	20011109
ZA 2003003887 A		ZA 2003-3887	20030519
KR 2003065519 A		KR 2003-706853	20030521
MX 2003004500 A1		MX 2003-4500	20030521
MX 234170 B		MX 2003-4500	20030521
NO 2003002299 A		NO 2003-2299	20030521
NZ 525963 A		NZ 2001-525963	20011109
NZ 525963 A		WO 2001-EP12969	20011109

FILING DETAILS:

PATENT NO	KIND		PATENT NO	
CZ 294648	B6	Previous Publ	CZ 200301435	A
DE 50106655	G	Based on	EP 1343786	A
ES 2243598	T3	Based on	EP 1343786	A
AU 2002020692	A	Based on	WO 2002042301	A
EP 1343786	A1	Based on	WO 2002042301	A
BR 2001015477	A	Based on	WO 2002042301	A

SK 2003000591	A3	Based on	WO 2002042301	A
CZ 2003001435	A3	Based on	WO 2002042301	A
HU 2003003283	A2	Based on	WO 2002042301	A
JP 2004521872	W	Based on	WO 2002042301	A
MX 2003004500	A1	Based on	WO 2002042301	A
CZ 294648	B6	Based on	WO 2002042301	A
EP 1343786	B1	Based on	WO 2002042301	A
DE 50106655	G	Based on	WO 2002042301	A
MX 234170	B	Based on	WO 2002042301	A
NZ 525963	A	Based on	WO 2002042301	A

PRIORITY APPLN. INFO: DE 2000-10057753 20001122
DE 2001-10131987 20010702
AN 2002-445631 [48] WPIX
AB DE 10131987 A1 UPAB: 20060119
NOVELTY - 4-Amino-2-(1-(2-fluorobenzyl)-1H-pyrazolo (3,4-b) pyridin-3-yl)-5-(3- or 4-pyridinyl)-pyrimidines (I) are new.
DETAILED DESCRIPTION - Pyrazolo-pyridine derivatives of formula (I)
and their salts, isomers and hydrates are new.
R1 = 3- or 4-pyridinyl;
R2 = H, NH2 or halo.
An INDEPENDENT CLAIM is included for the preparation of (I).
ACTIVITY - Cardiant; vasotropic; hypotensive; thrombolytic; anticoagulant; antiinflammatory; antiangina; antiarrhythmic; cerebroprotective; antiarteriosclerotic; antiasthmatic; cytostatic; osteopathic; uropathic; nootropic; neuroprotective; antiparkinsonian; vulnerary; anti-HIV; neuroleptic; tranquilizer; hypnotic; antimigraine; analgesic. 2-(1-(2-Fluorobenzyl)-1H-pyrazolo (3,4-b) pyridin-3-yl)-5-(4-pyridinyl)-4-pyrimidinamine (Ia) had vasorelaxant IC50 0.66 muM (as determined by inhibition of phenylephrine-induced contraction of rabbit aortic rings in vitro).
MECHANISM OF ACTION - Soluble guanylate cyclase stimulant. (I) directly stimulate soluble guanylate cyclase and increase cellular cGMP levels, and thus cause vascular relaxation, inhibit thrombocyte aggregation, reduce blood pressure, increase coronary blood flow and potentiate the action of compounds which increase cGMP levels.
USE - (I) are used as medicaments, specifically for treating cardiovascular diseases, hypertension, thromboembolic diseases, sexual dysfunction, inflammation or central nervous system disorders (all claimed). Specific disorders to be treated include cardiac insufficiency, stable or unstable angina pectoris, arrhythmia, myocardial infarction,

cerebral stroke, transitory ischemic attacks, peripheral blood flow disorders, restenosis, arteriosclerosis, asthma, prostate hypertrophy, erectile dysfunction, female sexual dysfunction, osteoporosis, gastroparesis, incontinence, cognitive disorders, age-asociated learning and memory disorders, vascular dementia, cranial-cerebral trauma, Alzheimer's disease, Parkinson's disease, progressive nuclear palsy, amyotrophic lateral sclerosis, Huntington's disease, multiple sclerosis, thalamic degeneration, Creutzfeld-Jacob dementia, HIV dementia, schizophrenia, Korsakoff psychosis, anxiety, stress, depression, sleep disorders, eating disorders, migraine and pain.